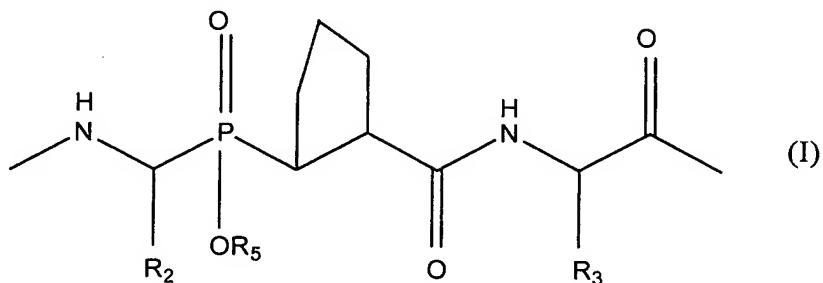


CLAIMS

1. Use of at least one phosphinic pseudopeptide derivative comprising the amino acid sequence of  
 5 formula (I) below:

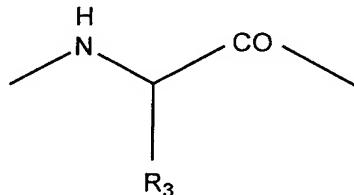


in which:

10

-  $R_2$  and  $R_3$ , which are identical or different, represent the side chain of a natural or unnatural amino acid, the sequence:

15

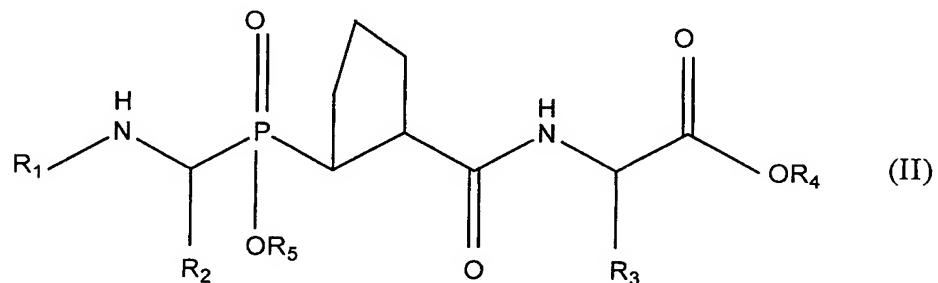


also possibly forming the Pro (proline) residue, and

20 -  $R_5$  represents a hydrogen atom, a pharmacologically acceptable counterion, or a group capable of forming an *in vivo* hydrolysable phosphinic ester;

for the manufacture of a medicinal product capable of selectively inhibiting the C-terminal site of angiotensin I converting enzyme.

5 2. Use of a phosphinic pseudopeptide derivative corresponding to formula (II) below:

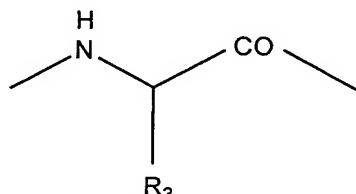


10 in which:

- R<sub>1</sub> represents a protecting group for an amine function, or an amino acid or a peptide protected with a protecting group for an amine function,

15

- R<sub>2</sub> and R<sub>3</sub>, which may be identical or different, represent the side chain of a natural or unnatural amino acid, the sequence:



20

also possibly forming the Pro residue,

- R<sub>4</sub> represents a hydrogen atom or a pharmacologically acceptable counterion, and

5 - R<sub>5</sub> represents a hydrogen atom, a pharmacologically acceptable counterion, or a group capable of forming an *in vivo* hydrolysable phosphinic ester;

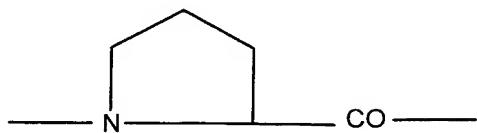
10 for the manufacture of a medicinal product capable of selectively inhibiting the C-terminal site of angiotensin I converting enzyme.

15 3. Use according to Claim 2, in which R<sub>1</sub> represents a protecting group for an amine function chosen from acetyl and benzyloxycarbonyl groups.

4. Use according to any one of Claims 1 to 3, in which R<sub>2</sub> represents the benzyl, methyl or phenylethyl group.

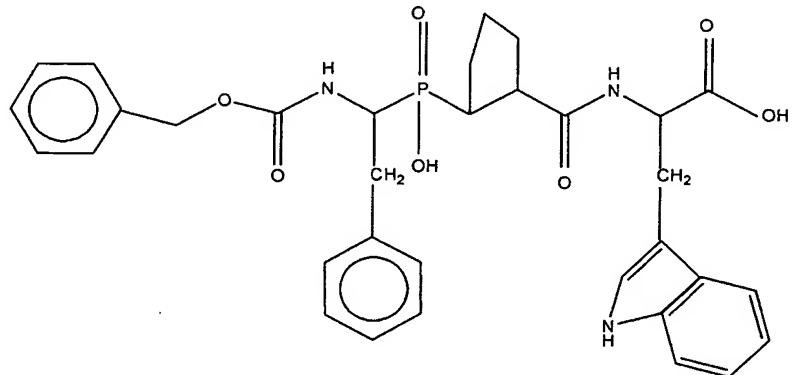
20 5. Use according to any one of Claims 1 to 4, in which R<sub>3</sub> represents the side chain of alanine, arginine or tryptophan.

25 6. Use according to any one of Claims 1 to 4, in which the sequence -NH-CH(R<sub>3</sub>)-CO- forms the Pro residue:



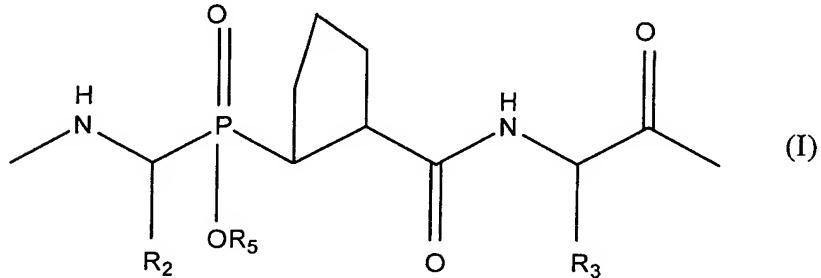
7. Use according to any one of Claims 1 to 6, in which R<sub>4</sub> and/or R<sub>5</sub> represent(s) a hydrogen atom.

8. Use according to Claim 2, in which the phosphinic 5 pseudopeptide derivative corresponds to the formula:



(pseudo-peptide G)

9. Phosphinic pseudopeptide derivative comprising the 10 amino acid sequence of formula (I) below:

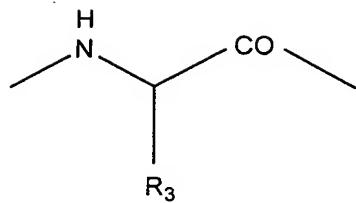


in which:

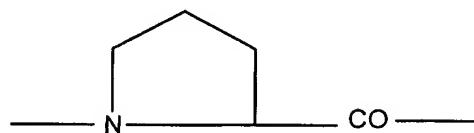
15

- R<sub>2</sub> represents the side chain of a natural or unnatural amino acid,

- the sequence:



5 forms the Pro residue:

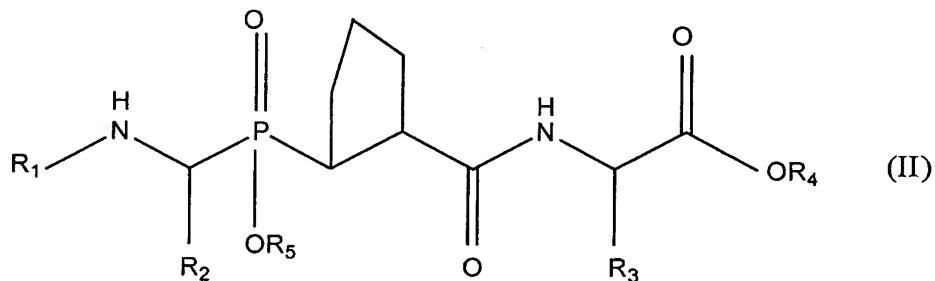


- R<sub>4</sub> represents a hydrogen atom or a pharmacologically acceptable counterion, and

- R<sub>5</sub> represents a hydrogen atom, a pharmacologically acceptable counterion, or a group capable of forming an *in vivo* hydrolysable phosphinic ester.

15

10. Phosphinic pseudopeptide derivative corresponding to formula (II) below:



20 in which:

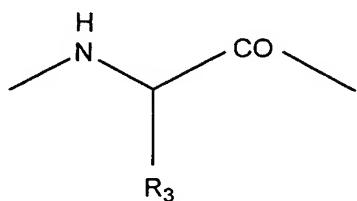
- R<sub>1</sub> represents a protecting group for an amine function, or an amino acid or a peptide protected with a protecting group for an amine function,

5

- R<sub>2</sub> represents the side chain of a natural or unnatural amino acid,

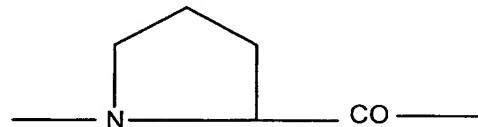
- the sequence:

10



forms the Pro residue:

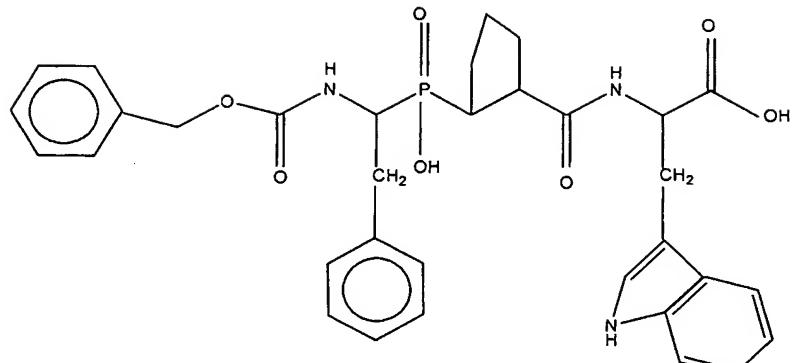
15



- R<sub>5</sub> represents a hydrogen atom, a pharmacologically acceptable counterion, or a group capable of forming an *in vivo* hydrolysable phosphinic ester.

20

11. Phosphinic pseudopeptide derivative of formula:

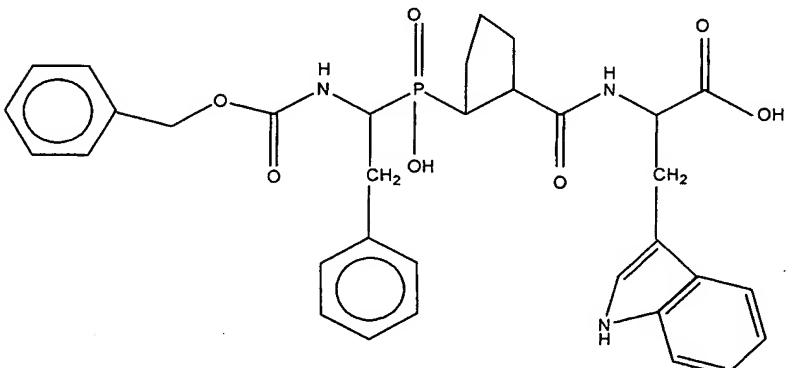


(pseudo-peptide G)

12. Pharmaceutical composition comprising at least one phosphinic pseudopeptide derivative according to any 5 one of Claims 9 to 11.

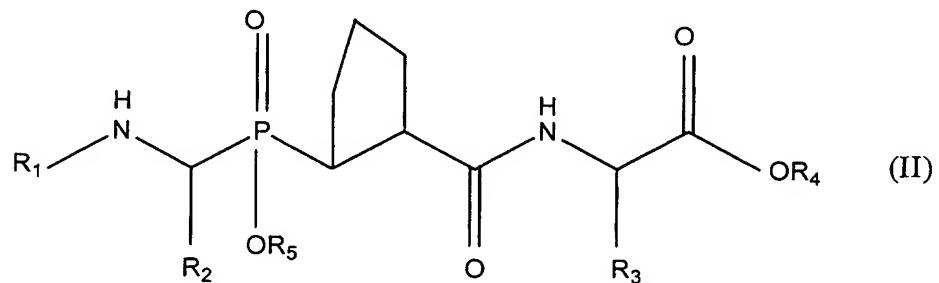
13. Pharmaceutical composition, in which the phosphinic pseudopeptide derivative corresponds to the formula:

10



(pseudo-peptide G)

14. Process for preparing a pseudopeptide of formula:

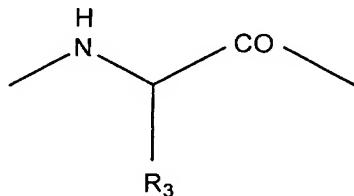


5 in which:

- R<sub>1</sub> represents a protecting group for an amine function, or an amino acid or a peptide protected with a protecting group for an amine function,

10

- R<sub>2</sub> and R<sub>3</sub>, which may be identical or different, represent the side chain of a natural or unnatural amino acid, the sequence:

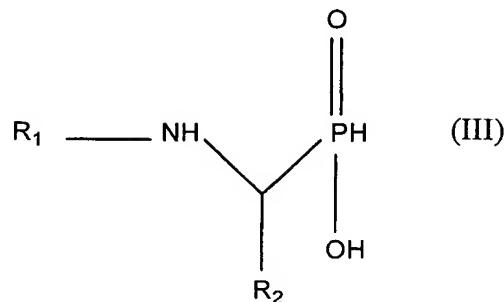


15

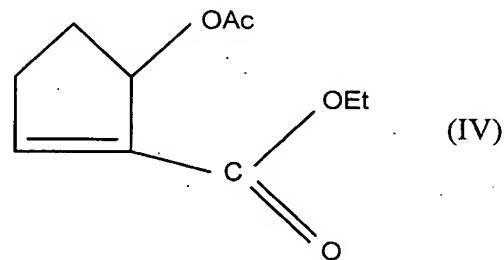
also possibly forming the Pro residue, and

- R<sub>4</sub> and R<sub>5</sub> represent a hydrogen atom;  
20 which comprises the following steps:

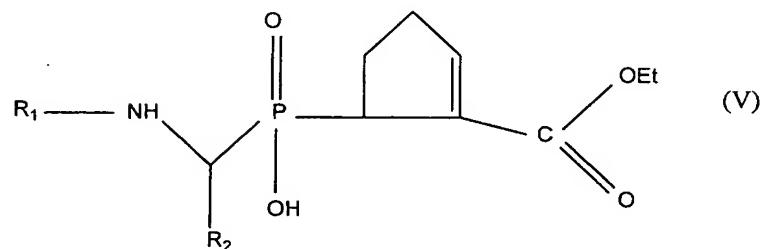
1) reacting a compound of formula (III):



5 in which  $\text{R}_1$  and  $\text{R}_2$  are as defined above, with the compound of formula (IV):

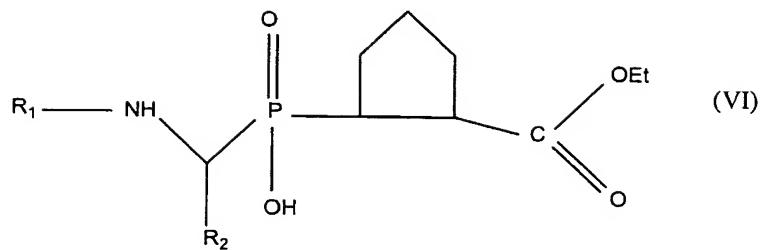


10 in which  $\text{Ac}$  represents the acetyl group and  $\text{Et}$  represents the ethyl group, to obtain the compound of formula (V):

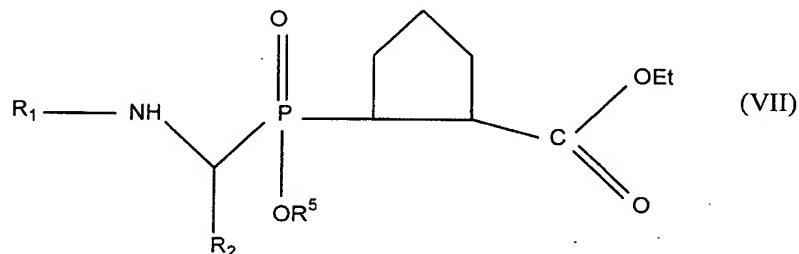


15

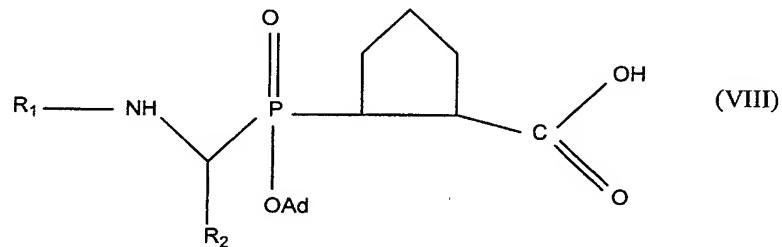
2) converting compound (V) into compound (VI) by reacting compound (V) with sodium borohydride:



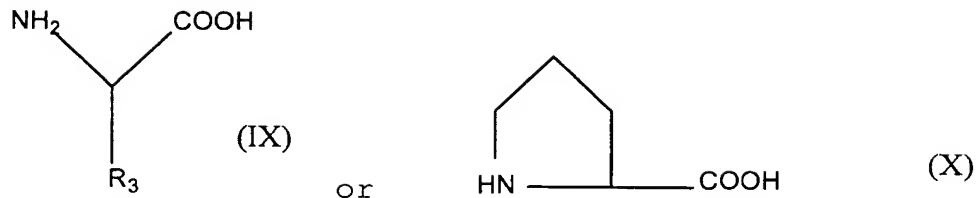
3) protecting the hydroxyl group of compound (VI) with  
 5 a protecting group  $\text{R}_5$ , for example the adamantlyl group  $\text{Ad}$ , to give the compound of formula (VII):



10 4) saponifying compound (VII) to give the compound of formula (VIII):



15 5) coupling the compound of formula (VIII) with the amino acid of formula (IX) or (X):

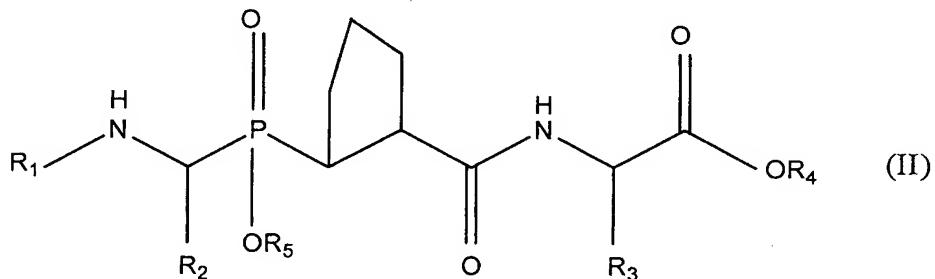


in which  $R_3$  is as defined above, and

5 6) removing the protecting group Ad.

15. Process according to Claim 14, in which the peptide coupling step 5) is performed via solid-phase peptide synthesis using as solid phase a resin substituted with the amino acid of formula (IX) or (X).

16. Process for preparing a pseudopeptide of formula:



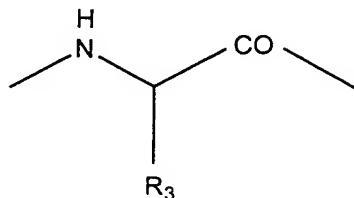
15

in which:

- $R_1$  represents a protecting group for an amine function, or an amino acid or a peptide protected with a protecting group for an amine function,

-  $R_2$  and  $R_3$ , which may be identical or different, represent the side chain of a natural or unnatural amino acid, the sequence:

5

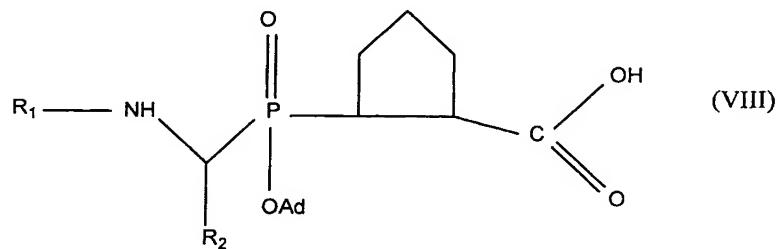


also possibly forming the Pro residue,

-  $R_4$  represents a hydrogen atom, and  
10  
-  $R_5$  represents a group capable of forming an *in vivo* hydrolysable phosphinic ester;

15 in which the phosphinic function of the pseudopeptide obtained via the process of Claim 14 or 15 is esterified by coupling with an alcohol of formula  $R_5OH$  or by reaction with a halide of formula  $R_5X$  in which X represents a halogen atom.

20 17. Compound of formula (VIII):



in which:

- $R_1$  represents a protecting group for an amine function or an amino acid or a peptide protected with an amine function, and
- $R_2$  represents the side chain of a natural or unnatural amino acid.